CLAIMS:

 A compound of formula (I), the geometric and optical isomers thereof, and mixtures of those isomers:

wherein:

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 ${\tt R}_1$ is selected from the group consisting of hydrogen and an acyl group having from 1 to 16 carbon atoms;

 $\ensuremath{\mathrm{R}}_2$ is a purine or pyrimidine base or an analogue or 10 derivative thereof; and

 ${\bf Z}$ is selected from the group consisting of 0, S, S=0,

and SO2; and

pharmaceutically acceptable derivatives of such

15 compounds.

- 2. A compound according to claim 1 wherein \mathbf{R}_1 is selected from the group consisting of acetyl, hexonyl, and aroyl.
- 3. A compound according to claim 2 wherein R_1 is benzoyl which may be substituted in any position with a group selected from the group consisting of OH, NO_2 , CF_3 , NH_2 , bromine, chlorine, fluorine, iodine, C_{1-6} alkyl, and C_{1-6} alkoxy.
- 4. A compound of formula (I) as defined in any 25 one of claims 1 to 3 wherein $\ensuremath{R_2}$ is selected from:

wherein:

 $\rm R_3$ is selected from the group of hydrogen, acetyl, and $\rm C_{1-6}$ alkyl groups;

 $\rm R_4$ and $\rm R_5$ are independently selected from the group consisting of hydrogen, hydroxymethyl, trifluoromethyl, substituted or unsubstituted $\rm C_{1-6}$ alkyl or alkenyl, bromine, chlorine, fluorine, and iodine;

R₆ is selected from the group consisting of hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

X and Y are independently selected from the group consisting of hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl groups.

5. A compound according to claim 4 wherein R_2 is

wherein:

 $\rm R_3$ is selected from the group consisting of hydrogen, acetyl, and $\rm C_{1-6}$ alkyl groups; and

15 R_4 is selected from the group consisting of hydrogen, hydroxymethyl, trifluoromethyl, substituted or unsubstituted, C_{1-6} alkyl or alkenyl, bromine, chlorine, fluorine, and iodine.

 $\qquad \qquad \textbf{6.} \quad \textbf{A compound according to any one of claims 1} \\ \textbf{20} \quad \textbf{to 3, wherein:}$

Z is selected from a group consisting of S, S=0 and SO_2 ; and

R2 is selected from the group consisting of:

wherein:

 $\rm R_3$ and $\rm R_4$ are independently selected from the group consisting of hydrogen and $\rm C_{1-6}$ alkyl groups;

 ${
m R}_5$ is selected from the group consisting of hydrogen, ${
m C}_{1-6}$ alkyl, bromine, chlorine, fluorine, and iodine; and

X and Y are independently selected from the group.5 consisting of bromine, chlorine, fluorine, iodine, amino and hydroxyl groups.

7. A compound according to claim 1, wherein: \mathbf{Z} is 0; and

 \mathbf{R}_{2} is selected from the group consisting of

10 wherein:

 $\rm R_{\mbox{$\frac{1}{3}$}}$ is selected from the group consisting of hydrogen and lower alkyl radicals having from 1 to 3 carbon atoms;

R₄ is selected from the group consisting of 15 hydrogen, lower alkyl or alkenyl radicals having from 1 to 3 carbon atoms; and

 ${\rm R}_5$ is selected from the group consisting of lower alkyl or alkenyl radicals having from 1-3 carbon atoms, fluoro and iodo.

- 8. A compound according to claim 7, wherein R_1 is selected from the group consisting of a benzoyl or a benzoyl substituted in any position by at least one bromine, chlorine, fluorine, iodine, C_{1-6} alkyl, C_{1-6} 5 alkoxy, nitro or trifluoromethyl group.
 - 9. A compound of formula (I) as defined in any one of claims 1 to 3 in the form of its \underline{cis} isomer.
 - 10. A compound selected from the group consisting of:

c1s-2-nydroxymethyl-5-(N-dimethylamino-methylene
cytosin-1'-yl)-1,3-oxathiolane;

Bis-Cis-2-succinyloxymethyl-5-(cytosin-1'-yl)-1,3oxathiolane;

Cis-2-benzoyloxymethyl-5-(6'-chloropurin-N-9'-yl)1,3-oxathiolane; trans-2-benzoyloxymethyl-5-(6'chloropurin-N-9'-yl)-1,3-oxathiolane, and mixtures

Cis-2-benzoyloxymethyl-5-(uracil-N-1'-yl)-1,3-

25 oxathiolane, <u>trans</u>-2-benzoyloxymethyl-5-(uracil-N-1'-yl)-1,3-oxathiolane, and mixtures thereof:

Cis-2-benzoyloxymethyl-5-(thymin-N-1'-y1)-1,3oxathiolane, trans-2-benzoyloxymethyl-5-(thymin-N-1'-y1)-1,3-oxathiolane, and mixtures thereof;

10 11. A compound selected from the group consisting of:

Cis-2-benzoyloxymethyl-5-(cytosin-1'-yl)-1,3oxathiolane, trans-2-benzoyloxymethyl-5-(cytosin-1'-yl)-

15 1,3-oxathiolane, and mixtures thereof;

 $\label{eq:cis-2-benzoyloxymethyl-5-(N_4'-acetyl-cytosin-1'-yl)-1,3-oxathiolane, $$trans-2$-benzoyloxymethyl-5-(N_4'-acetyl-cytosin-1'-yl)-1,3-oxathiolane, and mixtures thereof; and$

20 <u>Cis</u>-2-hydroxymethy1-5-(cytosin-1'-yl)-3-oxo-1,3oxathiolane;

Cis-2-hydroxymethyl-5-(cytosin-1'-yl)-1,3oxathiolane; trans-2-hydroxymethyl-5-(cytosin-1'-yl)1,3-oxathiolane; and mixtures thereof;

Cis-2-hydroxymethyl-5-(adenin-9'-yl)-1,3oxathiolane, trans-2-hydroxymethyl-5-(adenin-9'-yl)1,3-oxathiolane, and mixtures thereof;

Cis-2-hydroxymethyl-5-(thymin-N-1'-yl)-1,3oxathiolane;

and pharmaceutically acceptable derivatives thereof in the form of a racemic mixture or single enantiomer.

12. A compound selected from the group consisting of:

5 <u>Cis</u>-2-acetoxymethyl-4-(thymin-1'-yl)-1,3-dioxolane, <u>trans</u>-2-acetoxymethyl-4-(thymin-1'-yl)-1,3-dioxolane, and mixtures thereof:

 $\label{eq:cis-2-hydroxymethyl-4-(thymin-1'-yl)-1,3-dioxolane,} $$ \frac{\text{Cis}-2-\text{hydroxymethyl-4-(thymin-1'-yl)-1,3-dioxolane,} $$$

10 and mixtures thereof;

Cis-2-benzoyloxymethyl-4-(cytosin-1'-yl)-1,3
dioxolane, trans-2-benzoyloxymethyl-4-(cytosin-1'-yl)1,3 dioxolane, and mixtures thereof;

Cis-2-hydroxymethyl-4-(cytosin-1'-yl)-1,3-dioxolane,
trans-2-hydroxymethyl-4-(cytosin-1'-yl)-1,3-dioxolane,
and mixtures thereof:

Cis-2-benzoyloxymethyl-4-(adenin-9'-yl)-1,3dioxolane, trans-2-benzoyloxymethyl-4-(adenin-9'-yl)1,3-dioxolane, and mixtures thereof;

20 <u>Cis</u>-2-hydroxymethyl-4-(adenin-9'-yl)-1,3-dioxolane, <u>trans</u>-2-hydroxymethyl-4-(adenin-9'-yl)-1,3-dioxolane, and mixtures thereof;

Cis-2-benzoyloxylmethyl-4-(2'-amino-6'-chloro-(purin-9'-yl)-1,3-dioxolane, trans-2-benzoyloxylmethyl-4-(2'-amino-6'-chloro-(purin-9'-yl)-1,3-dioxolane,

25 4-(2'-amino-6'-chloro-(purin-9'-yl)-1,3-dioxolane, and mixtures thereof:

Cis-2-hydroxymethyl-4-(2'-amino-6'-chloro-(purin-9'yl)-1,3-dioxolane, trans-2-hydroxymethyl-4-(2'-amino6'-chloro-(purin-9'-yl)-1,3-dioxolane, and mixtures
30 thereof;

Cis-2-hydroxymethyl-4-(2'-amino-purin-9'-yl)-1,3dioxolane, trans-2-hydroxymethyl-4-(2'-amino-purin-9'yl)-1,3-dioxolane, and mixtures thereof;

Cis-2-hydroxymethyl-4-(2',6'-diamino-purin-9'-yl)1,3- dioxolane, trans-2-hydroxymethyl-4-(2',6'-diamino-purin-9'-yl)-1,3- dioxolane, and mixtures thereof;

Cis-2-hydroxymethyl-4-(guanin-9'-yl)-1,3-dioxolane,
trans-2-hydroxymethyl-4-(guanin-9'-yl)-1,3-dioxolane,
and mixtures thereof;
and pharmaceutically acceptable derivatives thereof in
the form of a racemic mixture or single enantiomer.

- 13. <u>Cis</u>-2-hydroxymethyl-5-(cytosin-1'-y1)-1,3-10 oxathiolane, and pharmaceutically acceptable derivatives thereof.
 - 14. <u>Cis-2-hydroxymethyl-5-(5'-fluorocytosin-1'-yl)-1,3-oxathiolane</u>, and pharmaceutically acceptable derivatives thereof.
- 15 15. A compound according to any one of claims 10 to 14 in the form of a racemic mixture.
 - 16. A compound according to any one of claims 10 to 14 substantially in the form of a single enantiomer.
- 20 17. An active therapeutic agent consisting essentially of a compound of formula (I) as defined in any one of claims 1 to 3 or a pharmaceutically acceptable derivative thereof.
- 18. A therapeutic effective against viral 25 infections consisting essentially of a compound of formula (I) as defined in any one of claims 1 to 3 or a pharmaceutically acceptable derivative thereof.

- 19. A pharmaceutical formulation comprising a compound of formula (I) as defined in any one of claims 1 to 3 or a pharmaceutically acceptable derivative thereof together with a pharmaceutically acceptable 5 carrier therefor.
 - 20. A pharmaceutical formulation according to claim 19 additionally comprising a further therapeutic agent.
- 21. The ester of formula (IV), the geometric 10 and optical isomers thereof, and mixtures of those isomers:

wherein:

W is PO_4^- , SPO_3^- , or $-O-C-(CH_2)_n$ -C-O- where n is an 1.5 integer of 1 to 10;

J is any nucleoside or nucleoside analog or derivative thereof:

Z is 0, S, S=0, or SO_2 ; and

 ${\bf R}_{2}$ is a purine or pyrimidine base or analogue or 20 derivative thereof.

22. A compound according to claim 21 wherein J

is:

23. A process for preparing an oxathiolane of formula (Ia), the geometric and optical isomers thereof, and mixtures of those isomers:

$$R_1OCH_2$$
 Q R_2 (Ia)

5 wherein:

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 ${\bf R}_1$ is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a hydroxyl protecting group;

 ${\bf R}_{2}$ is a purine or pyrimidine base or an analogue or 10 derivative thereof;

Z is selected from a group consisting of S, S=O, and SO,; the process comprising the steps of:

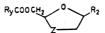
a) reacting a compound having the formula ${\rm HSCH_2CH(OR_X)_2}$, wherein ${\rm R_X}$ is substituted or unsubstituted ${\rm C_{1-6}}$ alkyl, with a compound having formula ${\rm R_yCO-OCH_2CHO}$, wherein ${\rm R_y}$ is substituted or unsubstituted ${\rm C_{1-6}}$ alkyl or substituted or unsubstituted aryl, in an inert solvent containing an acid catalyst to produce an intermediate having a formula:

 b) reacting the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid to produce a compound of the formula:

- c) optionally treating the resulting compound with an oxidizing agent in a suitable solvent to produce the corresponding sulfoxides of formula (Ia), wherein Z is S=0 or SO2.
- 24. A process for preparing a compound according to claim 6, the geometric and optical isomers thereof, and mixtures of those isomers; the process 10 comprising the steps of:
 - a) reacting a compound having a formula $HSCH_2CH(OR_x)_2$, wherein R_x is substituted or unsubstituted C_{1-6} alkyl, with a compound having formula $R_{v}^{\text{CO-OCH}_{2}\text{CHO}}$, wherein $R_{v}^{\text{}}$ is substituted or unsubstituted C_{1-6} alkyl or substituted or unsubstituted aryl, in an inert solvent containing an acid catalyst to produce an intermediate having a formula:

20 b) treating the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid to produce a compound of the formula:

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- c) optionally treating the resulting compound with an oxidizing agent in a suitable solvent to produce the corresponding sulfoxides of formula (Ia), wherein Z is S=O or SO_2 .
- 25. A process for preparing an oxathiolane of formula (Ia), the geometric and optical isomers thereof, and mixtures of those isomers:

$$R_1OCH_2$$
 Q R_2 (Ia)

wherein:

10 R₁ is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a hydroxyl protecting group;

 ${\bf R_2}$ is a purine or pyrimidine base or an analogue or derivative thereof; and

- Z is selected from a group consisting of S, S=0 or SO₂; the process comprising the steps of:
 - a) reacting a mercaptoacetaldehyde with a compound having formula $\rm R_yCO-OCH_2CHO$, wherein $\rm R_y$ is substituted or unsubstituted $\rm C_{1-6}$ alkyl or
- 20 substituted or unsubstituted aryl, to produce an intermediate having a formula:

- b) converting the hydroxyl group of the intermediate to a suitable leaving group; and
- c) treating the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid to produce a compound of the formula:

26. A process for preparing an oxathiolane of formula (Ia), the geometric and optical isomers thereof, and mixtures of those isomers:

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wherein:

 $\rm R_1$ is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a hydroxyl protecting group;

15 R₂ is a purine or pyrimidine base or an analogue or derivative thereof; and

z is selected from a group consisting of S, S=O, and SO,; the process comprising the steps of:

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a) treating a mercaptoacetaldehyde with a compound having formula R_y OOCCHO, wherein R_y is substituted or unsubstituted C_{1-6} alkyl or substituted or unsubstituted aryl, to produce an intermediate having a formula:

b) converting the hydroxyl group of the intermediate to a suitable leaving group; andc) treating the intermediate with a

silylated pyrimidine or purine or an analogue thereof, in the presence of a Lewis acid to produce a compound of the following formula:

- d) reducing the $R_{\underline{y}}$ containing ester and protecting the resulting hydroxyl group with a suitable protecting group;
- e) optionally interconverting the purine or pyrimidine base substituent to another pyrimidine or purine base;
- $\qquad \qquad \text{f) removing the protecting group to give a} \\ 20 \qquad \text{compound of formula (Ia).}$
 - 27. A process for preparing an oxathiolane of formula (Ia), the geometric and optical isomers thereof, and mixtures of those isomers:

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wherein:

 R_1 is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a hydroxyl protecting group;

 ${\bf R}_2$ is a purine or pyrimidine base or an analogue or derivative thereof; and

Z is selected from a group consisting of S, S=0, and SO_2 ; the process comprising the steps of:

a) converting the hydroxyl group of an intermediate of the following formula to a suitable leaving group:

wherein $R_{\hat{Y}}$ is C_{1-6} substituted or unsubstituted alkyl or substituted or unsubstituted aryl;

- b) reducing the ester group and protecting the resulting hydroxyl group with a suitable protecting group;
- c) reacting the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid;
- d) removing the protecting group to give a compound of formula (Ia).
- 28. A process for preparing a dioxolane of formula (Ib), the geometric and optical isomers thereof, and mixtures of those isomers,

wherein:

R₁ is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a 5 hydroxyl protecting group; and

 \mathbf{R}_2 is a purine or pyrimidine base or an analogue or derivative thereof; the process comprising the steps of:

a) condensing a compound having a formula $R_2 CH_2 CH(OR_\chi)$, wherein R_z is a halo selected from bromo, chloro, fluoro or iodo and R_χ is substituted or unsubstituted c $_{1-6}$ alkyl, with glycerol in an inert solvent containing an acid catalyst to produce an intermediate having a formula

b) oxidizing the hydroxymethyl group of the intermediate with an oxidizintg agent to the acid and further oxidizing with an organic peracid to produce a compound of the following formula

wherein R_Y is substituted or unsubstituted C₁₋₆

20 alkyl or substituted or unsubstituted aryl;

c) treating the intermediate with a

silylated pyrimidine or purine base or an analogue

therof, in the presence of a Lewis acid to produce a compound of the following formula

d) displacing the $\mathbf{R}_{_{\mathbf{Z}}}$ group with a salt of an acid.

5 29. A process for preparing a dioxolane of formula (Ib), the geometric and optical isomers thereof, and mixtures of those isomers,

wherein:

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10 R₁ is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms and a hydroxyl protecting group; and

R₂ is a purine or pyrimidine base or an analogue or derivative thereof; the process comprising the steps of:

a) condensing a compound having a formula $R_z C H_2 C H(OR_\chi)$, wherein R_z is a halo selected from bromo, chloro, fluoro or iodo and R_χ is substituted or unsubstituted C $_{1-6}$ alkyl, with glycerol in an inert solvent containing an acid catalyst to produce an intermediate having a formula

 $\mbox{b) displacing the R_Z group with a salt of an acid to produce a compound of the following formula <math display="block">\mbox{ } \mbox{ } \m$

wherein R_y is substituted or unsubstituted C_{1-6} 5 alkyl or substituted or unsubstituted aryl; c) oxidizing the hydroxymethyl group of

the intermediate with an oxidizing agent to the acid and further oxidizing with an organic peracid to produce a compound of the following formula

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d) treating the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid to produce a compound of the following formula

30. A process for preparing a dioxolane of 15 formula (Ib), the geometric and optical isomers thereof, and mixtures of those isomers:

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wherein:

 R_1 is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a hydroxyl protecting group; and

 ${\bf R_2}$ is a purine or pyrimidine base or an analogue or derivative thereof; the process comprising the steps of:

a) condensing a compound having a formula R_y CO-OCH_2CHO, wherein R_y is substituted or unsubstituted C_{1-6} alkyl or substituted or unsubstituted aryl, with the hydroxyacetal of formula HOCH_2CH(OR_x)_2, wherein R_x is a substituted or unsubstituted C_{1-6} alkyl, in an inert solvent containing an acid catalyst to produce an intermediate having a formula:

b) treating the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid to produce a compound of the following formula:

31. A process for preparing a dioxolane of formula (Ib), the geometric and optical isomers thereof, and mixtures of those isomers:

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wherein:

 ${\bf R}_1$ is selected from a group consisting of hydrogen, an acyl group having from 1 to 16 carbon atoms, and a hydroxyl protecting group; and

 ${\bf R}_2$ is a purine or pyrimidine base or an analogue or derivative thereof; the process comprising the steps of:

a) condensing a compound having a formula R_y CO-OCH₂CHO, wherein R_y is substituted or unsubstituted C_{1-6} alkyl or substituted or unsubstituted aryl, with an epoxide in an inert solvent containing an acid catalyst to produce an intermediate having a formula:

b) oxidizing the ketone of the intermediate with an organic peracid and treating the intermediate with a silylated pyrimidine or purine base or an analogue thereof, in the presence of a Lewis acid to produce a compound of the following formula:

32. A method for preventing or treating human immunodeficiency virus infections in mammals characterized by administering to a mammal an anti7 or claim 8.

viral effective amount of a compound according to any one of claims 1 to 3.

- 33. A method for preventing or treating human immunodeficiency virus infections in mammals,
- 5 characterized by administering to a mammal an antiviral effective amount of a compound according to claim 6.
- 34. A method for preventing or treating human immunodeficiency virus infections in mammals,10 characterized by administering to a mammal an antiviral effective amount of a compound according to claim
- 35. Intermediates useful for the production of oxathiolane compounds selected from the group
 15 consisting of:

2-thiobenzoylacetaldehyde diethylacetal; and cis and trans-2-benzoyloxymethyl-5-ethoxy-1,3-oxathiolane.

36. Intermediates useful for the production of 20 oxathiolane and dioxolane compounds selected from the group consisting of:

cis- and trans-2-chloromethyl-4-(m-chlorobenzoyloxy)-1,3-dioxolane;

cis- and trans-2-benzoyloxymethyl-1,3-dioxolane-425 carboxylic acid; and

cis- and trans-2-benzoyloxymethyl-4-(mchlorobenzoyloxy)-1,3-dioxolane.

37. Intermediates useful for the production of oxathiolane and dioxolane compounds selected from the group consisting of:

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cis- and trans-2-benzoyloxymethyl-5-hydroxy-1,3-
oxathiolane;
   cis- and trans-2-benzoyloxymethyl-5-acetoxy-1,3-
oxathiolane:
   cis- and trans-2-ethoxycarbonyl-5-hydroxy-1,3-
oxathiolane;
   cis- and trans-2-ethoxycarbonyl-5-acetoxy-1,3-
oxathiolane;
   cis- and trans-2-ethoxycarbonyl-5-(uracil-1'-yl)-
1,3-oxathiolane;
   cis- and trans-2-t-butyldimethylsilyloxy-methyl-5-
(uracil-1'-yl)-1,3-oxathiolane;
   cis- and trans-2-t-butyldimethylsilyloxy-methyl-5-
(cytosin-1'-yl)-1,3-oxathiolane;
   cis- and trans-2-ethoxycarbonyl-5-(methoxy-
carbonyloxy)-1,3-oxathiolane;
   cis- and trans-2-t-butyldiphenylsilyloxy-methyl-5-
(methoxycarbonyloxy)-1,3-oxathiolane;
   cis- and trans-2-t-butyldiphenylsilyloxy-methyl-5-
(cytosin-1'-yl)-1,3-oxathiolane;
   cis- and trans-2-t-butyldiphenylsilyloxy-methyl-5-
(N-acetylcytosin-1'-yl)-1,3-oxathiolane;
   2-benzoyloxyacetaldehyde bis (2-methoxyethyl)
acetal;
   2-hydroxyacetaldehyde bis(2-methoxyethyl) acetal;
   cis- and trans-2-benzoyloxymethyl-4-(2-
methoxyethoxy)-1,3-dioxolane;
   cis- and trans-2-benzoyloxymethyl-4-acetyl-1,3-
dioxolane;
   cis- and trans-2-benzoyloxymethyl-4-acetoxy-1,3-
dioxolane;
   2-thiobenzoylacetaldehyde bis(2-methoxy-ethyl)
acetal:
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2-thioacetaldehyde bis(2-methoxyethyl acetal;

cis- and trans-2-benzoyloxymethyl-5-(2methoxyethoxy)-1,3-oxathiolane;

cis- and trans-2-hydroxymethyl-5-hydroxy-1,3oxathiolane; and

cis- and trans-2-acetoxymethyl-5-1,3oxathiolane.